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FILE LAST UPDATED: 27 Sep 2005  (20050927/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

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L1          346 SEA FILE=CAPLUS (ESOMEPRAZOLE OR S(W)OMEPRAZOLE)
L2           65 SEA FILE=CAPLUS L1 AND MAGNESIUM
L4            7 SEA FILE=CAPLUS L2 AND CRYSTAL?


=> d l4 1-7 ibib abs hit

L4   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:99328  CAPLUS
DOCUMENT NUMBER:          142:183479
TITLE:                    Immediate-release formulation of acid-labile drugs
INVENTOR(S):              Phillips, Jeffrey O.; Widder, Ken J.
PATENT ASSIGNEE(S):       The Curators of the University of Missouri, USA;
                          Santarus, Inc.
SOURCE:                   PCT Int. Appl., 90 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005009381         A2    20050203    WO 2004-US23558         20040722
     WO 2005009381         A3    20050616
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW; AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     US 2005112193         A1    20050526    US 2004-896682          20040722
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PRIORITY APPLN. INFO.: US 2003-489363P P 20030723

AB The present invention provides, inter alia, compns. comprising a pH buffering agent and a controlled-release component containing an acid-labile pharmaceutical. Methods of using such compns. are also provided. Microgranules of omeprazole were coated with Eudragit L30D-55.

IT Antibacterial agents
Antioxidants
Binders
Buffers
Digestive tract, disease
Drug bioavailability
Dyes
Dyspepsia
Esophagus, disease
Fillers
Flavoring materials
Fungicides
Lubricants
Polymorphism (**crystal**)
Preservatives
Solubilizers
Stabilizing agents
Sweetening agents
Wetting agents
(immediate-release formulation of acid-labile drugs)

IT 62-54-4, Calcium acetate 68-04-2, Sodium citrate 72-17-3, Sodium lactate 77-86-1, Trishydroxymethylaminomethane 77-92-9, Citric acid, biological studies 77-93-0, Triethyl citrate 79-41-4D, Methacrylic acid, polymers 84-66-2, Diethyl phthalate 102-76-1, Triacetin 112-92-5, Stearyl alcohol 127-08-2, Potassium acetate 127-09-3, Sodium acetate 140-99-8, Calcium succinate 142-72-3, **Magnesium** acetate 144-55-8, NaHCO3, biological studies 150-90-3, Disodium succinate 151-21-3, Sodium lauryl sulfate, biological studies 298-14-6, Potassium bicarbonate 471-34-1, Calcium carbonate, biological studies 497-19-8, Sodium carbonate, biological studies 533-96-0, Sodium sesquicarbonate 546-93-0, **Magnesium** carbonate 549-14-4, **Magnesium** phthalate 556-32-1, **Magnesium** succinate 584-08-7, Potassium carbonate 814-80-2, Calcium lactate 866-84-2, Potassium citrate 1305-62-0, Calcium hydroxide, biological studies 1309-42-8, **Magnesium** hydroxide 1309-48-4, MgO, biological studies 1310-73-2, Sodium hydroxide, biological studies 1330-43-4, Sodium borate 1332-77-0, Potassium borate 1343-88-0, **Magnesium** silicate 2090-64-4, **Magnesium** bicarbonate 3164-34-9, Calcium tartrate 3983-19-5, Calcium bicarbonate 5793-85-1, Calcium phthalate 7320-34-5, Potassium pyrophosphate 7558-79-4, Dibasic sodium phosphate 7558-80-7, Sodium dihydrogen phosphate 7601-54-9, Trisodium phosphate 7632-05-5, Sodium phosphate 7693-13-2, Calcium citrate 7722-84-1, Hydrogen peroxide, biological studies 7722-88-5, Sodium pyrophosphate 7758-11-4, Dipotassium hydrogen phosphate 7758-29-4, Sodium tripolyphosphate 7778-53-2, Tripotassium phosphate 7779-25-1, **Magnesium** citrate 7790-53-6, Potassium metaphosphate 9002-89-5, Poly(vinyl alcohol) 9003-39-8, Polyvinylpyrrolidone 9004-32-4 9004-35-7, Cellulose acetate 9004-36-8, Cellulose acetate butyrate 9004-38-0, Cellulose acetate phthalate 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropyl methyl cellulose 9005-65-6, Polysorbate 80 9010-88-2, Eudragit NE30D 9050-31-1, Hydroxypropyl methyl cellulose phthalate 10043-52-4, Calcium chloride, biological studies 10043-83-1, **Magnesium** phosphate 10103-46-5, Calcium phosphate 10197-71-4, Sodium phthalate 11137-98-7, **Magnesium** aluminate 12304-65-3, Hydrotalcite 12511-31-8 12619-64-6, **Magnesium** borate 13840-55-6, Calcium borate 14047-56-4, Sodium succinate 14475-11-7, Sodium tartrate 16068-46-5, Potassium phosphate

18917-93-6, **Magnesium** lactate 20752-56-1, **Magnesium** tartrate 21645-51-2, Aluminum hydroxide (Al(OH)3), biological studies 22445-04-1, Potassium succinate 25086-15-1, Eudragit L100 25212-88-8, Kollicoat MAE30DP 25212-88-8, Eudragit L30D-55 25322-68-3, Macrogol 6000 26936-24-3, Eudragit FS30D 27214-00-2, Calcium glycerophosphate 29801-94-3, Potassium phthalate 31566-31-1, Glyceryl monostearate 36653-82-4, Cetyl alcohol 39366-43-3, Aluminum **Magnesium** hydroxide 40968-90-9, Potassium tartrate 52907-01-4, Cellulose acetate trimellitate 53237-50-6, Poly(vinyl acetate) phthalate 71138-97-1, Hydroxypropyl methyl cellulose acetate succinate 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole 113712-98-4, Tenatoprazole 117976-89-3, Rabeprazole 117976-90-6, Pariprazole 119141-88-7, **Esomeprazole** 835648-57-2, Polyquid PA 30
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immediate-release formulation of acid-labile drugs)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:878390 CAPLUS
DOCUMENT NUMBER: 141:370547
TITLE: Preparation of polymorphic **crystalline** forms of **S-omeprazole magnesium**
INVENTOR(S): Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Chander, Reddy Kesireddy Subash
PATENT ASSIGNEE(S): Hetero Drugs Limited, India
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089935	A1	20041021	WO 2003-IN151	20030410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2003-IN151 20030410

AB Polymorphic crystalline forms of **S-omeprazole magnesium** (e.g., **S-omeprazole magnesium** trihydrate), processes for their preparation, and pharmaceutical compns. containing them, are presented.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of polymorphic **crystalline** forms of **S-omeprazole magnesium**

AB Polymorphic crystalline forms of **S-omeprazole magnesium** (e.g., **S-omeprazole magnesium** trihydrate), processes for their preparation, and pharmaceutical compns. containing them, are presented.

ST omeprazole **magnesium** trihydrate **crystal** polymorphism

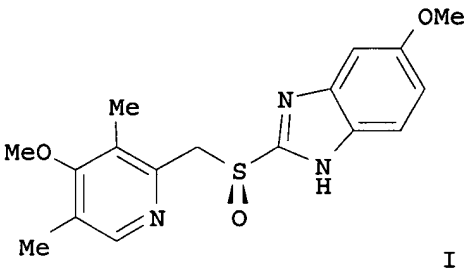
IT Cooling
Crystallization
Heating

Precipitation (chemical)
(in preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT Polymorphism (**crystal**)
(preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT Drug delivery systems
(preparation of polymorphic crystalline forms of **S-omeprazole magnesium** for use in)
IT Alcohols, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvents; in preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT Esters, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvents; in the preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT 67-56-1, Methanol, uses 108-88-3, Toluene, uses 110-54-3, Hexane, uses
RL: NUU (Other use, unclassified); USES (Uses)
(in the preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT 7487-88-9, **Magnesium** sulfate, reactions 7732-18-5, Water, reactions 7786-30-3, **Magnesium** chloride, reactions 119141-88-7 161796-78-7 161796-84-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT 217087-09-7P 668985-31-7P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT 161973-10-0, **(S)-Omeprazole magnesium**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)
IT 68-12-2, Dmf, uses 123-86-4, Butyl acetate
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; in the preparation of polymorphic crystalline forms of **S-omeprazole magnesium**)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:740315 CAPLUS
DOCUMENT NUMBER: 141:265972
TITLE: Preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates
INVENTOR(S): Kumar, Yatendra; Khanna, Mahavir Singh; Prasad, Mohan
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076440	A1	20040910	WO 2004-IB535	20040301
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,				

CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: IN 2003-DE199 A 20030228
GI



I

AB Polymorphic forms of the S-enantiomer of omeprazole, S-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (I), and its hydrates, are prepared and characterized.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI Preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates
ST omeprazole **crystal** polymorphism prepn
IT Alcohols, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(aliphatic, solvents; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Ethers, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(cyclic, solvents; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Separation
(decantation; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Distillation
Drying
Evaporation
Filtration
Freeze drying
(in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Hydrates
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(of **S-omeprazole**; preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and

its hydrates)
IT Differential scanning calorimetry
Human
X-ray diffraction
(of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Antiulcer agents
Polymorphism (**crystal**)
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Drug delivery systems
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates for use in)
IT Gastric acid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(secretion, inhibitors; preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Esters, uses
Hydrocarbons, uses
Ketones, uses
Nitriles, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvents; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Drying
(spray; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT Distillation
(vacuum; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT 7732-18-5, Water, reactions
RL: NUU (Other use, unclassified); RGT (Reagent); RACT (Reactant or reagent); USES (Uses)
(in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT 119141-88-7, **S-Omeprazole** 755036-61-4, **S-Omeprazole** sesquihydrate
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT 161796-84-5 161973-10-0, **(S)-Omeprazole magnesium**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)
IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, 2-Propanol, uses 67-64-1, Acetone, uses 67-68-5, Dmso, uses 68-12-2, Dmf, uses 71-23-8, 1-Propanol, uses 71-36-3, 1-Butanol, uses 75-05-8, Acetonitrile, uses 75-65-0, tert-Butanol, uses 78-83-1, Isobutanol, uses 78-93-3, 2-Butanone, uses 108-10-1, 4-Methyl-2-pentanone 108-21-4, Isopropyl acetate 108-88-3, Toluene, uses 109-99-9, Thf, uses 123-91-1, Dioxane, uses 141-78-6, Ethyl acetate, uses 1330-20-7, Xylene, uses
RL: NUU (Other use, unclassified); REM (Removal or disposal); PROC (Process); USES (Uses)
(solvent; in the preparation of **crystal** polymorphs of the antiulcer agent **S-omeprazole** and its hydrates)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453206 CAPLUS

10/716,200

DOCUMENT NUMBER: 141:23531
TITLE: **Crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation
INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore; Purandhar, Koilkonda; Reddy, Lekkala Amarnath
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046134	A2	20040603	WO 2003-US36715	20031118
WO 2004046134	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004242642	A1	20041202	US 2003-716200	20031118
PRIORITY APPLN. INFO.:			IN 2002-MA852 A	20021118

AB A crystalline polymorph of **esomeprazole magnesium** trihydrate is prepared and characterized by its X-ray powder diffraction pattern.

TI **Crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation

AB A crystalline polymorph of **esomeprazole magnesium** trihydrate is prepared and characterized by its X-ray powder diffraction pattern.

ST **esomeprazole magnesium** trihydrate **crystal** polymorphism

IT Polymorphism (**crystal**)
(**crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation)

IT **Crystallization**
Filtration
(**crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation using)

IT Alkanes, uses
RL: NUU (Other use, unclassified); USES (Uses)
(halo, solvents; **crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation using)

IT Gastric acid
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(secretion, inhibitors; **esomeprazole magnesium** trihydrate crystalline polymorph)

IT Alcohols, uses
Ketones, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvents; **crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation using)

IT 217087-09-7P, **Esomeprazole magnesium** trihydrate

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation)

IT 7732-18-5, Water, reactions
RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses)
(**crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation using)

IT 7439-95-4, **Magnesium**, reactions 119141-88-7, **Esomeprazole**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation using)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-64-1, Acetone, uses 67-66-3, Trichloromethane, uses 75-09-2, Dichloromethane, uses 1300-21-6, Dichloroethane 35296-72-1, Butanol 62309-51-7, Propanol
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; **crystal** polymorphism of **esomeprazole magnesium** trihydrate and method for its preparation using)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203830 CAPLUS
DOCUMENT NUMBER: 140:245456
TITLE: Amorphous hydrates of **esomeprazole magnesium** and a process for their preparation
INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore; Purandhar, Koilkonda; Sreenath, Keshaboina
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020436	A1	20040311	WO 2003-US27177	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501424	AA	20040311	CA 2003-2501424	20030828
US 2004167173	A1	20040826	US 2003-651306	20030828
EP 1546131	A1	20050629	EP 2003-791960	20030828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: IN 2002-MA638 A 20020830
WO 2003-US27177 W 20030828

OTHER SOURCE(S): MARPAT 140:245456

AB A trihydrate of **esomeprazole magnesium** in the form of an amorphous solid is prepared and described for use as a gastric acid inhibitor.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/716,200

TI Amorphous hydrates of **esomeprazole magnesium** and a process for their preparation

AB A trihydrate of **esomeprazole magnesium** in the form of an amorphous solid is prepared and described for use as a gastric acid inhibitor.

ST **esomeprazole magnesium** hydrate manuf antacid

IT Alcohols, uses
RL: NUU (Other use, unclassified); USES (Uses)
(aliphatic, solvents; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT Alkanes, uses
RL: NUU (Other use, unclassified); USES (Uses)
(halo, solvents; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT Human
Polymorphism (**crystal**)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT Gastric acid
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(secretion, inhibitors; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT Ulcer
(treatment; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT 161973-10-0, **Esomeprazole magnesium**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration in process for preparation of amorphous hydrates of **esomeprazole magnesium**)

IT 217087-09-7P 668985-31-7P
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT 7439-95-4, **Magnesium**, reactions 161796-78-7, **Esomeprazole** sodium
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT 119141-88-7P, **Esomeprazole**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-66-3, Trichloromethane, uses 71-23-8, Propanol, uses 71-36-3, Butanol, uses 75-09-2, Dichloromethane, uses 141-78-6, Ethyl acetate, uses 1300-21-6, Dichloroethane
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric acid secretion)

IT 7732-18-5, Water, reactions
RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses)
(solvent; process for preparation of amorphous hydrates of **esomeprazole magnesium** for use in reducing gastric

acid secretion)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:610242 CAPLUS
DOCUMENT NUMBER: 139:154933
TITLE: Transmucosal delivery of proton pump inhibitors
INVENTOR(S): Widder, Ken; Hall, Warren; Olmstead, Kay
PATENT ASSIGNEE(S): Santarus, Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063840	A2	20030807	WO 2003-US2659	20030127
WO 2003063840	A3	20030904		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2472103	AA	20030807	CA 2003-2472103	20030127
US 2004006111	A1	20040108	US 2003-353143	20030127
EP 1469839	A2	20041027	EP 2003-705972	20030127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005521662	T2	20050721	JP 2003-563534	20030127

PRIORITY APPLN. INFO.:		
US 2002-351909P	P	20020125
US 2002-374761P	P	20020422
WO 2003-US2659	W	20030127

AB The present invention relates to pharmaceutical compns. and methods for transmucosal delivery of proton pump inhibitors. In one embodiment, the pharmaceutical composition of the present invention comprises a core which comprises an antacid, and an outer layer surrounding the core. The outer layer contains a therapeutically effective amount of a proton pump inhibitor. In another embodiment, the pharmaceutical composition of the present invention comprises an outer layer which comprising a unidirectional film, and an inner layer which contains a therapeutically effective amount of a proton pump inhibitor. In yet another embodiment, the pharmaceutical composition of the present invention is a unidirectional tablet for delivery of a proton pump inhibitor across the oral mucosa. In this embodiment, the pharmaceutical composition contains an outer layer which contains a pharmaceutically acceptable water impermeable layer, and an inner layer which contains a therapeutically effective amount of a proton pump inhibitor. A tablet composition contained in the outer layer; Klucel EXP 10, dicalcium phosphate 10, MgCO3-90S 20, FD&C Lake Red Number 0.1, and Compitol-888 1 mg/tablet; the inner layer comprised omeprazole 20, MgCO3-90S 20, Klucel EXP 10, and Mg stearate 0.6 mg/tablet.

IT Antacids
Beeswax
Enantiomers
Flavoring materials
Polymorphism (**crystal**)
Solubilizers
(transmucosal delivery of proton pump inhibitors)

IT 87-99-0, Xylitab 100 144-55-8, Carbonic acid monosodium salt, biological studies 298-14-6 471-34-1, Calcium carbonate, biological studies 546-93-0, **Magnesium** carbonate 584-08-7 9002-88-4, Polyethylene 9004-34-6D, Cellulose, alkyl ethers 9004-64-2, Hydroxypropyl cellulose 12619-70-4, Cyclodextrin 18641-57-1 25038-59-9, Mylar, biological studies 73590-58-6, Omeprazole 74811-65-7, Croscarmellose sodium 77538-19-3, Glyceryl behenate 92340-57-3, HydroxyOmeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 104340-86-5, Leminoprazole 117976-89-3, Rabeprazole 117976-90-6, Pariprazole 119141-88-7, **Esomeprazole** 161973-10-0, Perprazole 350507-35-6, Dontoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transmucosal delivery of proton pump inhibitors)

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:5489 CAPLUS
DOCUMENT NUMBER: 138:49025
TITLE: Process for the preparation of the **magnesium** salt of **S-omeprazole** trihydrate
INVENTOR(S): Kronstrom, Anders; Leander, Eva; Mattson, Anders; Jansson, Karin; Bohlin, Martin
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. 6,369,085.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003004190	A1	20030102	US 2002-113245	20020401
US 6747155	B2	20040608		
SE 9702065	A	19981201	SE 1997-2065	19970530
SE 510650	C2	19990614		
WO 9854171	A1	19981203	WO 1998-SE974	19980525
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 1273581	A1	20030108	EP 2002-19642	19980525
EP 1273581	B1	20050323		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY				
US 6369085	B1	20020409	US 1998-77719	19980608
HK 1051681	A1	20050819	HK 2003-103300	20000817

PRIORITY APPLN. INFO.:

SE 1997-2065	A	19970530
WO 1998-SE974	W	19980525
US 1998-77719	A2	19980608
EP 1998-926005	A3	19980525
HK 2000-105176	A	20000817

AB The present invention is a novel process for the preparation of the Mg salt of the (-)-enantiomer of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1-benzimidazole trihydrate, i.e., **S-omeprazole magnesium** salt trihydrate. The present invention also relates to the **S-omeprazole** Mg salt trihydrate prepared in accordance with the new process and pharmaceutical compns. containing it. New intermediates used in the process include

S-omeprazole magnesium salt dihydrate or **S-omeprazole** potassium salt. The process for preparation of the enantiopure **magnesium** salt of **S-omeprazole** trihydrate comprises (a) dissolving a Mg source (e.g., MgSO4) in water, (b) mixing a potassium salt of **S-omeprazole** with water, (c) mixing the two solns. to form the **magnesium** salt of **S-omeprazole** and precipitate the salt, (d) isolating the obtained **magnesium** salt, (e) treating the salt with water, and (f) isolating and drying the **magnesium** salt of **S-omeprazole** trihydrate. Thus, addition of water to wet **crystals** of the Mg salt of **S-omeprazole** (preparation given from potassium salt of **S-omeprazole**) and heating to 38° with stirring for 3 h afforded **crystals** of **S-omeprazole magnesium** salt trihydrate.
Powder XRD data for the product are given. The product is advantageous because it is more stable than corresponding **magnesium** salt compds. in prior art, and is easier to handle and store. The method of preparation is reproducible and easier to handle in full scale production

TI Process for the preparation of the **magnesium** salt of **S-omeprazole** trihydrate

AB The present invention is a novel process for the preparation of the Mg salt of the (-)-enantiomer of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1-benzimidazole trihydrate, i.e., **S-omeprazole magnesium** salt trihydrate. The present invention also relates to the **S-omeprazole** Mg salt trihydrate prepared in accordance with the new process and pharmaceutical compns. containing it. New intermediates used in the process include **S-omeprazole magnesium** salt dihydrate or **S-omeprazole** potassium salt. The process for preparation of the enantiopure **magnesium** salt of **S-omeprazole** trihydrate comprises (a) dissolving a Mg source (e.g., MgSO4) in water, (b) mixing a potassium salt of **S-omeprazole** with water, (c) mixing the two solns. to form the **magnesium** salt of **S-omeprazole** and precipitate the salt, (d) isolating the obtained **magnesium** salt, (e) treating the salt with water, and (f) isolating and drying the **magnesium** salt of **S-omeprazole** trihydrate. Thus, addition of water to wet **crystals** of the Mg salt of **S-omeprazole** (preparation given from potassium salt of **S-omeprazole**) and heating to 38° with stirring for 3 h afforded **crystals** of **S-omeprazole magnesium** salt trihydrate.
Powder XRD data for the product are given. The product is advantageous because it is more stable than corresponding **magnesium** salt compds. in prior art, and is easier to handle and store. The method of preparation is reproducible and easier to handle in full scale production

ST omeprazole trihydrate **magnesium** salt prepn enantiopure XRD

IT X-ray diffraction
(of **magnesium** salt of **S-omeprazole** trihydrate)

IT 10034-99-8, **Magnesium** sulfate heptahydrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of **S-omeprazole magnesium** salt and trihydrate)

IT 7487-88-9, **Magnesium** sulfate, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of **S-omeprazole magnesium** salt trihydrate)

IT 161796-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and conversion to **S-omeprazole magnesium** salt trihydrate)

IT 217087-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydration to **S-omeprazole magnesium** salt trihydrate)

IT 161973-10-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydration to give **S-omeprazole magnesium** salt trihydrate)

IT 217087-09-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation from **S-omeprazole magnesium** salt dihydrate or **S-omeprazole** potassium salt and powder XRD of)

IT 73590-58-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(resolution via D-(-)-tartrate for preparation of **S-omeprazole magnesium** salt trihydrate)

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